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(54) Title: USE OF NEW ETONOGESTREL ESTERS

(57) Abstract: The subject invention provides a contraceptive and/or HRT kit comprising a contraceptively and/or therapeutically effective amount of a long-acting etonogestrel ester with a fatty-chain length of C7-C15.

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 01/50188

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61P15/00 A61K31/569 A61K31/565

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EP0-Internal

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|---|--|
| X | EP 0 737 477 A (AKZO NOBEL NV) 16 October 1996 (1996-10-16) page 2, line 48-51 page 2, column 5-11; claim 1 page 4, column 38-46; claims 16-21 --- | 1, 2, 8-10, 16-19, 25 |
| X | WO 97 03709 A (SCHERING AG) 6 February 1997 (1997-02-06) --- | 1, 8, 9, 16, 18, 25, 26, 28, 29 |
| A | page 1 -page 2, paragraph 3; claims 1-4, 14, 15 page 10, paragraph 6 -page 11, paragraph 2 --- -/-- | 2, 8, 10, 17, 19, 27, 30, 31 |

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents:

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X document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

Y document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

8 document member of the same patent family

Date of the actual completion of the international search

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13/01/2004

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INTERNATIONAL SEARCH REPORT

International Application No
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|---|--|
| Y | WO 00 42942 A (PLACE VIRGIL A) 27 July 2000 (2000-07-27) page 8, line 18 -page 9, line 3; claims 1,5 --- | 1,3,4,9, 11,18,20 |
| Y | WO 99 67271 A (AKZO NOBEL NV) 29 December 1999 (1999-12-29) cited in the application page 3, line 1-17; claim 6 --- | 1,3,4,9, 11,18,20 |
| Y | WO 99 67270 A (AKZO NOBEL NV) 29 December 1999 (1999-12-29) cited in the application page 3, line 18 -page 4, line 2; claim 10 --- | 1,3,9, 11,18,20 |
| A | WO 94 04157 A (SCHERING AG) 3 March 1994 (1994-03-03) page 3, paragraph 1; claims 1,2,12,13; examples 2,4 --- | 1,2, 8-10, 16-19, 25-31 |
| A | DE 42 40 806 A (SCHERING AG) 9 June 1994 (1994-06-09) column 2, line 16-28 --- | 1,2, 8-10, 16-19, 25-27, 29,30 |
| A | DATABASE WPI Week 199524 Derwent Publications Ltd., London, GB; AN 1995-182895 XP002265978 & JP 07 101884 A (SEKISUI CHEM IND CO LTD) , 18 April 1995 (1995-04-18) abstract ----- | 1,9,18, 26,29 |

INTERNATIONAL SEARCH REPORT

International application No.
EP 03/50188

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 18-25 and 29-31 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☒ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/SA/ 210

Continuation of Box I.2

Present claims 3, 8, 11, 16, 20 and 25 relate to compositions, uses and methods involving an extremely large number of possible compounds by use of the terms "androgen ester" and "estrogen".

Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible.

Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds specifically claimed and disclosed in the description and figures.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP/50188

| Patent document cited in search report | Publication date | Patent family member(s) | Publication date |
|---|---------------------|----------------------------|----------------------------|
| EP 0737477 | A | 16-10-1996 | AU 692504 B2 11-06-1998 |
| | | | AU 1281895 A 17-07-1995 |
| | | | BR 9408457 A 05-08-1997 |
| | | | EP 0737477 A1 16-10-1996 |
| | | | FI 962618 A 25-06-1996 |
| | | | NO 962694 A 26-06-1996 |
| | | | NZ 277552 A 26-01-1998 |
| | | | CA 2176824 A1 06-07-1995 |
| | | | CN 1135716 A 13-11-1996 |
| | | | CZ 9601877 A3 15-01-1997 |
| | | | HU 75159 A2 28-04-1997 |
| | | | WO 9517896 A1 06-07-1995 |
| | | | ZA 9410333 A 04-12-1995 |
| WO 9703709 | A | 06-02-1997 | DE 19613698 A1 23-01-1997 |
| | | | AU 6614096 A 18-02-1997 |
| | | | WO 9703709 A1 06-02-1997 |
| | | | EP 0848620 A1 24-06-1998 |
| | | | JP 11509222 T 17-08-1999 |
| | | | ZA 9606083 A 19-06-1998 |
| WO 0042942 | A | 27-07-2000 | US 6180682 B1 30-01-2001 |
| | | | AU 2513800 A 07-08-2000 |
| | | | WO 0042942 A2 27-07-2000 |
| WO 9967271 | A | 29-12-1999 | AT 215961 T 15-04-2002 |
| | | | AU 756739 B2 23-01-2003 |
| | | | AU 4610199 A 10-01-2000 |
| | | | BR 9911344 A 13-03-2001 |
| | | | CA 2333985 A1 29-12-1999 |
| | | | CN 1305488 T 25-07-2001 |
| | | | DE 69901250 D1 16-05-2002 |
| | | | DE 69901250 T2 17-10-2002 |
| | | | DK 1087986 T3 17-06-2002 |
| | | | WO 9967271 A1 29-12-1999 |
| | | | EP 1087986 A1 04-04-2001 |
| | | | ES 2175989 T3 16-11-2002 |
| | | | HK 1034263 A1 02-08-2002 |
| | | | HU 0102274 A2 28-12-2001 |
| | | | JP 2002518514 T 25-06-2002 |
| | | | NO 20006455 A 18-12-2000 |
| | | | NZ 508299 A 29-04-2003 |
| | | | PL 344987 A1 19-11-2001 |
| | | | PT 1087986 T 30-08-2002 |
| | | | SK 19402000 A3 10-07-2001 |
| | | | TR 200003743 T2 23-07-2001 |
| | | | US 6437158 B1 20-08-2002 |
| | | | ZA 200006813 A 21-02-2002 |
| WO 9967270 | A | 29-12-1999 | AU 4513099 A 10-01-2000 |
| | | | WO 9967270 A1 29-12-1999 |
| WO 9404157 | A | 03-03-1994 | DE 4227989 A1 09-06-1994 |
| | | | AT 162945 T 15-02-1998 |
| | | | AU 687013 B2 19-02-1998 |
| | | | AU 4950493 A 15-03-1994 |
| | | | CA 2141690 A1 03-03-1994 |
| | | | DE 59308124 D1 12-03-1998 |

INTERNATIONAL SEARCH REPORT

International Application No

PCT/E 8/50188

| Patent document cited in search report | Publication date | Patent family member(s) | Publication date |
|---|---------------------|----------------------------|---------------------|
| WO 9404157 | A | DK 655916 T3 | 23-09-1998 |
| | | WO 9404157 A1 | 03-03-1994 |
| | | EP 0655916 A1 | 07-06-1995 |
| | | ES 2115071 T3 | 16-06-1998 |
| | | FI 950774 A | 20-02-1995 |
| | | GR 3026627 T3 | 31-07-1998 |
| | | HU 69406 A2 | 28-09-1995 |
| | | JP 8500584 T | 23-01-1996 |
| | | NO 950626 A | 20-02-1995 |
| DE 4240806 | A | 09-06-1994 | DE 4240806 A1 |
| | | | 09-06-1994 |
| JP 7101884 | A | 18-04-1995 | NONE |